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(54) Title: 2-PROPYLIDENE-19-NOR-VITAMIN D COMPOUNDS

(57) Abstract: 2-propylidene-19-nor-vitamin D compounds are disclosed as well as pharmaceutical uses for these compounds and methods of synthesizing these compounds. These compounds are characterized by high bone calcium mobilization activity and high intestinal calcium transport activity. This results in novel therapeutic agents for the treatment and prophylaxis of diseases where bone formation is desired, particularly osteoporosis, as well as autoimmune diseases such as multiple sclerosis, diabetes mellitus and lupus. These compounds also exhibit pronounced activity in arresting the proliferation of undifferentiated cells and inducing their differentiation to the monocyte thus evidencing use as an anti-cancer agent and for the treatment of skin diseases such as psoriasis. These compounds also increase both breaking strength and crushing strength of bones evidencing use in conjunction with bone replacement surgery such as hip and knee replacements.

WO 2004/092118 A3

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US2004/011059

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07C401/00 C07F7/18 C07F9/53 A61K31/593 A61P19/08
A61P17/06 A61P35/00 A61P35/02 A61P37/00 A61P1/00
A61P29/00 A61P19/10

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07C C07F A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

EPO-Internal, BEILSTEIN Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>US 2002/087015 A1 (SICINSKI RAFAL R ET AL) 4 July 2002 (2002-07-04)</p> <p>Scheme 1 paragraphs '0012! - '0129! ----- -/--</p>	<p>1-11, 13-20, 22-46, 48-57, 59-67, 69-79, 81-92, 94-104, 106-109</p>

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
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- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *&* document member of the same patent family

Date of the actual completion of the international search

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03/11/2004

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INTERNATIONAL SEARCH REPORT

Int. Patent Application No.
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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 6 392 071 B1 (SICINSKI RAFAL R ET AL) 21 May 2002 (2002-05-21) column 2, line 34 - column 24, line 19	1-11, 13-20, 22-46, 48-57, 59-67, 69-79, 81-92, 94-104, 106-109
X	US 5 936 133 A (SICINSKI RAFAL R ET AL) 10 August 1999 (1999-08-10) cited in the application column 2, line 25 - column 17, line 28	1-11, 13-20, 22-46, 48-57, 59-67, 69-79, 81-92, 94-104, 106-109
X	US 5 843 928 A (RAFAL SICINSKI R ET AL) 1 December 1998 (1998-12-01) cited in the application column 2, line 24 - column 17, line 33	1-11, 13-20, 22-46, 48-57, 59-67, 69-79, 81-92, 94-104, 106-109

INTERNATIONAL SEARCH REPORT

national application No.
PCT/US2004/011059

Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
Although claims 36-109 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Int. Patent Application No.
PCT/JP2004/011059

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